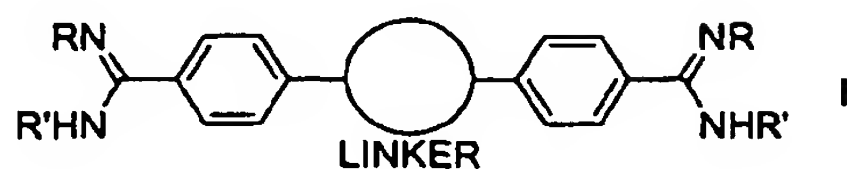


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What is claimed is:

1. A bisbenzamidine of formula I,



wherein the linker is a di-substituted cyclic moiety of any ring size and may contain at least one heteroatom;

the aromatic group is 1,2-; 1,3-; or 1,4- disubstituted;

R is selected from the group consisting of a hydrogen, a linear or branched alkyl group, containing from 1 to 20 carbon atoms;

R' is selected from the group consisting of a hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a cycloalkyl group containing three to eight carbon atoms, or a hydroxyl group;

alternatively, R and R' may form a cyclic structure that can be fused to another cyclic system;

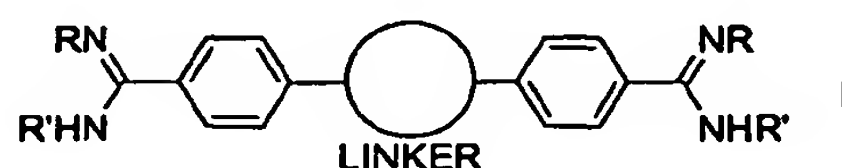
or a pharmaceutically acceptable salt thereof.

2. The bisbenzamidine of claim 1 wherein the linker is a 6-membered ring containing at least one heteroatom and is substituted in either a 1,3- or 1,4-position.
3. The bisbenzamidine of claim 2 wherein the linker is a 1,4-piperazinediyl group and the aromatic group is 1,4-disubstituted.
4. The bisbenzamidine of claim 3 wherein R is a hydrogen atom.



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5. The bisbenzamidine of claim 4 wherein R' is an n-butyl group.
6. The bisbenzamidine of claim 4 wherein R' is a cyclobutyl group.
7. The bisbenzamidine of claim 4 wherein R' is a cycloheptyl group.
8. The bisbenzamidine of claim 4 wherein R' is an n-heptyl chain.
9. The bisbenzamidine of claim 4 wherein R' is an n-pentyl chain.
10. The bisbenzamidine of claim 4 wherein R' is a 3-methyl-butyl chain.
11. The bisbenzamidine of claim 4 wherein R' is an n-hexyl chain.
12. The bisbenzamidine of claim 4 wherein R' is a 2-methyl butyl chain.
13. The bisbenzamidine of claim 1 wherein the linker is a 7-membered ring containing at least one heteroatom.
14. The bisbenzamidine of claim 13 wherein the linker is a 1,4-homopiperazinediyl group.
15. A pharmaceutical formulation comprising, in combination with a pharmaceutically carrier, a bisbenzamidine of formula I,



wherein the linker is a di-substituted cyclic moiety of any ring size and may contain at least one heteroatom;

the aromatic group is 1,2-; 1,3-; or 1,4- disubstituted;

R is selected from the group consisting of a hydrogen, a linear or branched alkyl group, containing from 1 to 20 carbon atoms;



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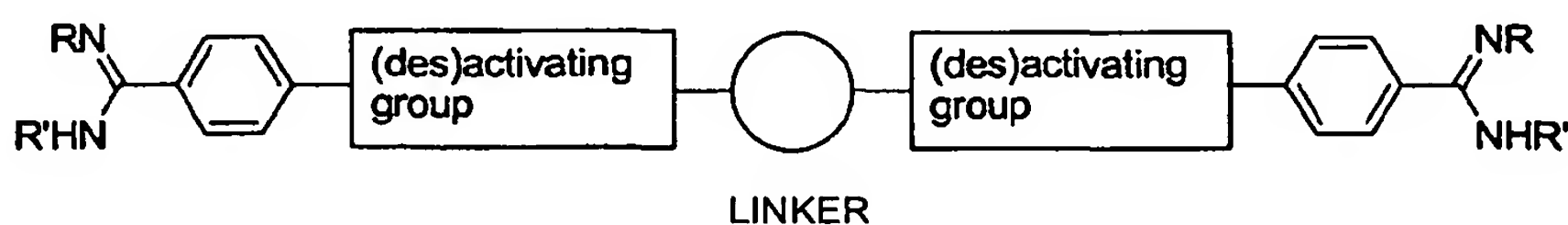
R' is selected from the group consisting of a hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a cycloalkyl group containing three to eight carbon atoms, or a hydroxyl group;

alternatively, R and R' may form a cyclic structure that can be fused to another cyclic system;

or a pharmaceutically acceptable salt thereof.

16. The pharmaceutical formulation of claim 15 wherein the linker is a 1,4-piperazinediyl group, the aromatic group is 1,4-disubstituted, R is a hydrogen and R' is selected from the group consisting of n-butyl, cyclobutyl, cycloheptyl, n-heptyl, n-pentyl, 3-methyl-butyl, n-hexyl chain, and a 2-methyl butyl moiety.

17. A bis-benzamidine of the general structure II:



II

wherein the linker is selected from the group consisting of a chain of one to twenty carbon atoms, containing saturated and/or unsaturated units, a cyclic structure of 1-20 atoms possibly containing heteroatoms;

the (de)activating group contains are selected from the group consisting of an ether, ester, amide, thioether, thioester, thioamide, amine, or a methylene group;

the aromatic system is di-substituted, six-membered ring and may contain at least one heteroatom;

R is a hydrogen atom or a linear or branched alkyl group, containing from 1 to 20 carbon atoms;

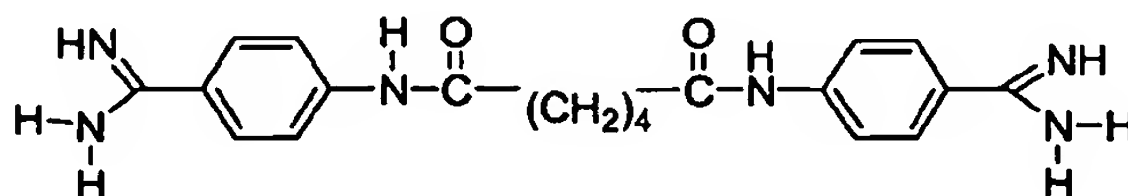


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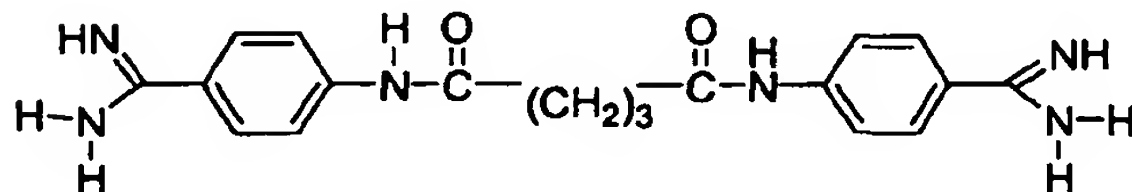
R' is selected from the group consisting of hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a hydroxyl group, a cycloalkyl group containing three to eight carbon atoms; or

R and R' may form a cyclic structure that can be fused to another cyclic system, wherein the cyclic structure, may be aromatic, and may contain heteroatoms or unsaturated bonds; or pharmaceutically acceptable salts thereof.

18. A bis-benzamidine of the following structure



19. A bis-benzamidine of the following structure:



20. The pharmaceutical formulation of claim 15 further comprising a liposomal formulation containing the active compounds or salts thereof.
21. The pharmaceutical formulation of claim 15 further comprising at least one additional active agent.
22. The pharmaceutical formulation of claim 20 wherein the additional agent is an anti-inflammatory agent.
23. The pharmaceutical formulation of claim 20 wherein the additional agent is an anti-infectious agent.



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24. The pharmaceutical formulation of claim 15 wherein the anti-infectious agent is selected from the group consisting of an anti-bacterial agent, an antifungal agent, an anti-viral agent, an anti-parasitic agent and mixtures thereof.

25. The pharmaceutical formulation of claim 15 wherein the bisbenzamidine is in a prodrug form.

26. A process for making a pharmaceutical composition comprising mixing any of the compounds of claim 1 and a pharmaceutically acceptable carrier in dosage form.

27. A method of treating a subject in need of such treatment, which comprises administering to the subject a therapeutically effective amount of the compound of Formula I as defined in claim 1 or a pharmaceutically acceptable salt thereof.

28. The method of claim 27, wherein the subject has a condition caused by or contributed to by an infectious agent.

29. The method of claim 28, wherein the infectious agent is a pathogenic organism selected from the group consisting of bacteria, yeast, viruses, protozoa and parasites.

30. The method of claim 28, wherein the microbial infection is *Pneumocystis pneumonia*.

31. The method of claim 28, wherein the condition is pneumonia.

32. The method of claim 31, wherein the pneumonia is in an HIV-positive patient.

33. The method of claim 28, wherein the condition is a chronic infection.

34. The method of claim 27, wherein the compound represented by formula (I) is administered to the subject orally or intravenously.

34. The method of claim 27, wherein the compound represented by formula (I) is present in a pharmaceutical formulation and wherein the pharmaceutical formulation further comprises a pharmaceutically acceptable carrier.



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35. A method for the prophylactic treatment of a fungal, bacterial, parasitic or viral infection in a subject comprising contacting the subject with a therapeutically effective amount of the compound of Formula I as defined in claim 1 or a pharmaceutically acceptable salt thereof.

36. The method of claim 35, wherein the infection is an opportunistic infection.

37. The method of claim 35, wherein the infection is in an immunocompromised subject.

38. The method of claim 35, wherein the infection is in an HIV-positive subject with pneumonia.

39. A method of treating pneumonia in a host, susceptible to or suffering from pneumonia caused by a microorganism selected from a virus, a bacterium, a fungus, and *Pneumocystis*, comprising administering to the subject an anti-inflammatory agent to reduce inflammation and bisbenzamidine of formula I with activity against the microorganism.

40. The method of claim 39, wherein the anti-inflammatory agent is a corticosteroid.

41. The method of claim 39, wherein the composition further comprises an additional anti-infectious agent.

42. The method of claim 41, wherein the additional anti-infectious agent is an anti-bacterial agent, antifungal agent, anti-parasitic agent, or anti-viral agent.

43. The method of claim 41, wherein the additional anti-infectious agent is an anti-viral agent selected from the group consisting of ribavirin and amantidine.

44. The method of claim 39, wherein the subject is afflicted with *Pneumocystis* pneumonia.

45. The method of claim 39, wherein the subject is at risk of developing *Pneumocystis* pneumonia and the compound is administered in a prophylactically effective amount.



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46. The method of claim 27, 35 or 39, comprising administering a therapeutically effective amount of the composition by oral inhalation, by nasal inhalation, or by intranasal mucosal administration.

47. The method of claim 27, 35 or 39, comprising administering a therapeutically effective amount of the composition orally, enterally, topically, vaginally, sublingually, rectally, intramuscularly, intravenously, or subcutaneously.

48. A kit comprising the pharmaceutical formulation of claim 15.